

CLAIMS

## 1. An (S)-secondary alcohol of formula (VIIIA)



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where:

- (I)  $R_N$  is  $C_1$ - $C_5$  alkyl;
- (II)  $X_2$  is:
  - (A) -Cl,
  - (B) -Br,
  - (C)  $p$ - $CH_3$ - $\phi$ - $SO_2^-$ ,
  - (D)  $m$ - $NO_2$ - $\phi$ - $SO_2^-$ .

2. An (S)-secondary alcohol (VIIIA) according to claim 1 where  $R_N$  is  $C_1$  alkyl.

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3. An (S)-secondary alcohol (VIIIA) according to claim 1 where  $X_2$  is -Cl.

## 4. An (S)-secondary alcohol (VIIIA) according to claim 1 which is selected from the group consisting of (S)-1-acetamido-2-hydroxy-3-chloropropane.

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## 5. An (S)-epoxide of formula (VIIIB)



25 where:

- (I) where  $R_N$  is  $C_1$ - $C_5$  alkyl;

- (II) where # indicates that the atoms marked with a (#) are bonded to each other resulting in the formation of a ring.

30 6. An (S)-epoxide (VIIIB) according to claim 5 where  $R_N$  is  $C_1$  alkyl.

## 7. An (S)-epoxide (VIIIB) according to claim 5 which is selected from the group consisting of (S)-glycidylacetamide.

## 35 8. An (S)-ester of formula (VIIIC)



(VIIIC)

where:

5 (I) where  $R_N$  is  $C_1$ - $C_5$  alkyl;  
 (II) where  $X_2$  is:  
 (A) -Cl,  
 (B) -Br,  
 (C)  $p$ - $CH_3$ - $\phi$ - $SO_2^-$ ,  
 (D)  $m$ - $NO_2$ - $\phi$ - $SO_2^-$ .

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9. An (S)-ester (VIIIC) according to claim 8 where  $R_N$  is  $C_1$  alkyl.10. An (S)-ester (VIIIC) according to claim 8 where  $X_2$  is -Cl.

15 11. An (S)-epoxide (VIIIC) according to claim 8 which is (S)-1-acetamido-2-acetoxy-3-chloropropane.

12. A compound selected from the group consisting of:

(1) an (S)-protected alcohol of the formula (IVA)

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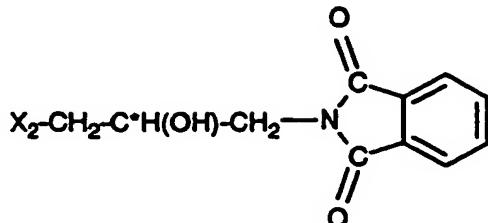
(IVA)

where:

25 (I)  $X_0$  is:  
 (A) - $\phi$ ,  
 (B)  $o$ -hydroxyphenyl,  
 (C)  $o$ -methoxyphenyl,  
 (D)  $p$ -methoxyphenyl;  
 (II)  $X_2$  is:  
 (A) -Cl,  
 (B) -Br,  
 (C)  $p$ - $CH_3$ - $\phi$ - $SO_2^-$ ,  
 (D)  $m$ - $NO_2$ - $\phi$ - $SO_2^-$ ;

(2) an (S)-phthalimide alcohol of the formula (IVC)

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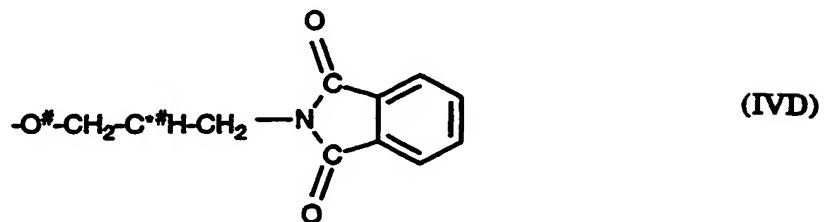
where:

(A)  $X_2$  is as defined above;

(3) an (S)-phthalimide epoxide of the formula (IVD)

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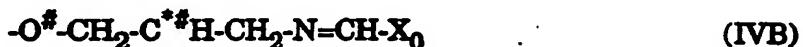


where:

(A) where # indicates that the atoms marked with a (#) are bonded to each other resulting in the formation of a ring;

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(4) an (S)-imine of glycidylamine of the formula (IVB)

25 where where  $X_0$  and # are as defined above.13. An (S)-compound according to claim 12 where  $X_0$  is - $\phi$  or *o*-hydroxyphenyl and  $X_2$  is -Cl.

30 14. An (S)-compound according to claim 12 which is  
 (S)-1-benzalimino-3-chloro-2-propanol and  
 (S)-1-phthalimido-3-chloro-2-propanol.

15. An (S)-intermediate of the formula (XV)

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where:

(I)  $R_{oxa}$  is phenyl substituted with one -F and one substituted amino group;

(II)  $R_N$  is  $C_1$ - $C_5$  alkyl;

(III)  $X_2$  is:

5 (A) -Cl,

(B) -Br,

(C)  $p$ -CH<sub>3</sub>- $\phi$ -SO<sub>2</sub>-,

(D)  $m$ -NO<sub>2</sub>- $\phi$ -SO<sub>2</sub>-.

10 16. An (S)-intermediate according to claim 15 where  $R_{oxa}$  is:

3-fluoro-4-[4-(benzyloxycarbonyl)-1-piperazinyl]phenyl,

3-fluoro-4-(4-morpholinyl)phenyl and

3-fluoro-4-(4-hydroxyacetylpirazinyl)phenyl.

15 17. An (S)-intermediate according to claim 15 where  $R_N$  is  $C_1$  alkyl.

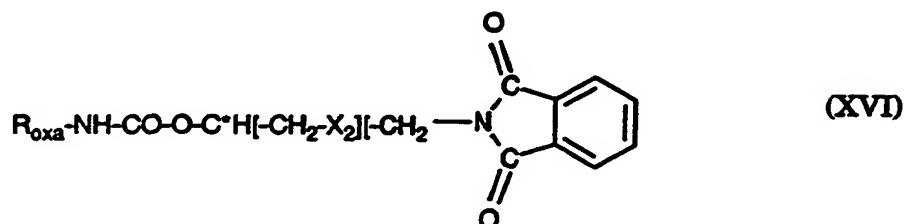
18. An (S)-intermediate according to claim 15 where  $X_2$  is -Cl.

19. An (S)-intermediate according to claim 15 where the intermediate is

20 (S)-N-carbo(1'-acetamido-3'-chloro-2'-propoxy)-3-fluoro-4-morpholinylanilin.

20. An (S)-oxazolidinone phthalamide intermediate of the formula (XVI)

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where:

(I)  $R_{oxa}$  is phenyl substituted with one -F and one substituted amino group;

(II)  $X_2$  is:

(A) -Cl,

(B) -Br,

(C)  $p$ -CH<sub>3</sub>- $\phi$ -SO<sub>2</sub>-,

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(D)  $m\text{-NO}_2\text{-}\phi\text{-SO}_2^-$ .

21. An oxazolidinone phthalamide intermediate (XVI) according to claim 21 where  $R_{oxa}$  is:

5 3-fluoro-4-[4-(benzyloxycarbonyl)-1-piperazinyl]phenyl,  
3-fluoro-4-(4-morpholinyl)phenyl and  
3-fluoro-4-(4-hydroxyacetyl)piperazinylphenyl.

22. An oxazolidinone phthalamide intermediate (XVI) according to claim 21 where  
10  $X_2$  is -Cl.

23. A process for the preparation of a (S)-3-carbon amino alcohol of the formula (V)

15  $\text{X}_2\text{-CH}_2\text{-C}^*\text{H(OH)-CH}_2\text{-NH}_3^+$  (V)

where  $X_2$  is:

- (A) -Cl,
- (B) -Br,
- (C)  $p\text{-CH}_3\text{-SO}_2^-$ ,
- (D)  $m\text{-NO}_2\text{-SO}_2^-$  which comprises:

(1) contacting a non-nitrogen adduct of formula (I)

$$\text{O}=\text{CH-X}_0 \quad - \quad \text{. . .} \quad (1)$$

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where  $X_0$  is:

- (A)  $\neg\phi$ ,
- (B) *o*-hydroxyphenyl,
- (C) *o*-methoxyphenyl,
- (D) *p*-methoxyphenyl.

30 (D) *p*-methoxyphenyl;

with aqueous ammonia (II) in the presence of an (S)-protected-epoxide of formula (III)

$$X_2\text{-CH}_2\text{-C}(\text{H})\text{CH}_2\text{-O}- \quad (\text{III})$$

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where:

(I) # indicates that the atoms marked with a (#) are bonded to each other resulting in the formation of a ring;

(II)  $X_2$  is as defined above,

(2) contacting the reaction mixture of step (1) with acid.

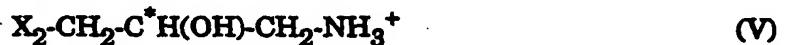
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24. A process for the preparation of an (S)-3-carbon amino alcohol (V) according to claim 23 where  $X_2$  is -Cl.

10 25. A process for the preparation of a (S)-3-carbon amino alcohol (V) according to claim 23 where the 3-carbon amino alcohol (V) is (S)-1-amino-3-chloro-2-propan 1 hydrochloride.

26. A process for the preparation of an (S)-3-carbon amino alcohol of the formula (V)

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where:

(I)  $X_2$  is:

(A) -Cl,

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(B) -Br,

(C)  $p\text{-CH}_3\phi\text{-SO}_2^-$ ,

(D)  $m\text{-NO}_2\phi\text{-SO}_2^-$  which comprises:

(1) contacting phthalimide (VI)

25 with an (S)-protected-epoxide of formula (III)

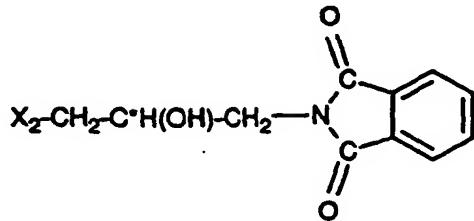


30 in the presence of potassium phthalamide in DMF or DMAc where:

(I) # indicates that the atoms marked with a (#) are bonded to each other resulting in the formation of a ring;

(II)  $X_2$  is as defined above; to give an (S)-phthalimide alcohol of formula (IVC)

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(IVC)

where  $X_2$  is as defined above and

10 (2) contacting the product of step (1) with aqueous acid.

27. A process for the preparation of an (S)-3-carbon amino alcohol (V) according to claim 26 where  $X_2$  is -Cl.

15 28. A process for the preparation of an (S)-3-carbon amino alcohol (V) according to claim 26 where the (S)-3-carbon amino alcohol is (S)-1-amino-3-chloro-2-propanol hydrochloride.

29. A process for the preparation of a secondary alcohol of the formula (VIIIA)

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(VIIIA)

where:

(I)  $X_2$  is:

25 (A) -Cl,  
 (B) -Br,  
 (C)  $p\text{-CH}_3\text{-SO}_2^-$ ,  
 (D)  $m\text{-NO}_2\text{-SO}_2^-$ ;

(II)  $R_N$  is  $C_1\text{-}C_5$  alkyl;

30 which comprises:

(1) contacting an (S)-3-carbon amino alcohol of the formula (V)



(V)

35 where  $X_2$  is as defined above with an acylating agent selected from the group consisting of an acid anhydrid of the formula  $O(CO-R_N)$  where  $R_N$  is as defined

above or an acid halide of the formula  $R_N\text{-CO-X}_4$  where  $X_4$  is -Cl or -Br and where  $R_N$  is as defined above and a tri(alkyl)amine where alkyl is  $C_1\text{-}C_5$ .

30. A process for the preparation of a secondary alcohol of the formula (VIIIA)  
5 according to claim 29 where the tri(alkyl)amine is triethylamine.

31. A process for the production of an (S)-oxazolidinone- $\text{CH}_2\text{-NH-CO-R}_N$  of formula (X)

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(X)

where:

(I)  $R_N$  is  $C_1\text{-}C_5$  alkyl;  
15 (II)  $R_{\text{oxa}}$  is phenyl substituted with one -F and one substituted amino group;  
which comprises:  
(1) contacting a carbamate of formula (IX)



(IX)

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where:

(I)  $X_1$  is:  
(A)  $C_1\text{-}C_{20}$  alkyl,  
(B)  $C_3\text{-}C_7$  cycloalkyl,  
25 (C)  $\phi$ - optionally substituted with one or two:  
(1)  $C_1\text{-}C_3$  alkyl,  
(2) F-, Cl-, Br-, I-,  
(D)  $\text{CH}_2=\text{CH-CH}_2$ ,  
(E)  $\text{CH}_3\text{-CH=CH-CH}_2$ ,  
30 (F)  $(\text{CH}_3)_2\text{C=CH-CH}_2$ ,  
(G)  $\text{CH}_2=\text{CH-}$ ,  
(H)  $\phi\text{-CH=CH-CH}_2$ ,  
(I)  $\phi\text{-CH}_2$ - optionally substituted on  $\phi$ - with one or two -Cl,  $C_1\text{-}C_4$   
alkyl, - $\text{NO}_2$ , - $\text{CN}$ , - $\text{CF}_3$ ,  
35 (J) 9-fluorenylmethyl,  
(K)  $(\text{Cl})_3\text{C-CH}_2$ ,

(L) 2-trimethylsilylethyl,

(M)  $\phi\text{-CH}_2\text{-CH}_2\text{-}$ ,

(N) 1-adamantyl,

(O)  $(\phi)_2\text{CH-}$ ,5 (P)  $\text{CH}\equiv\text{C-C(CH}_3)_2\text{-}$ 

(Q) 2-furanylmethyl,

(R) isobornyl,

(S) -H;

(II)  $\text{R}_{\text{oxa}}$  is as defined above; with an oxygenated amino reagent selected from  
10 the group consisting of:

(1) an (S)-secondary alcohol of the formula (VIIIA)



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where:

(I)  $\text{X}_2$  is:

(A) -Cl,

(B) -Br,

20 (C)  $p\text{-CH}_3\text{-}\phi\text{-SO}_2\text{-}$ ,(D)  $m\text{-NO}_2\text{-}\phi\text{-SO}_2\text{-}$ ;(II)  $\text{R}_N$  is as defined above;

or an (S)-epoxide of the formula (VIIIB)

25



where:

(I) # indicates that the atoms marked with a (#) are bonded to each other resulting in the formation of a ring;

30 (II)  $\text{R}_N$  is as defined above;

or an (S)-ester of the formula (VIIIC)



35 where:

(I)  $\text{R}_N$  and  $\text{X}_2$  are as defined above;

in the presence of a lithium cation and a base whose conjugate acid has a  $pK_a$  of greater than about 8.

32. A process for the production of an (S)-oxazolidinone- $\text{CH}_2\text{-NH-CO-R}_N$  (X) according to claim 31 where  $\text{R}_{\text{oxa}}$  is:

3-fluoro-4-[4-(benzyloxycarbonyl)-1-piperazinyl]phenyl,  
3-fluoro-4-(4-morpholinyl)phenyl and  
3-fluoro-4-(4-hydroxyacetyl)piperazinylphenyl.

10 33. A process for the production of an (S)-oxazolidinone- $\text{CH}_2\text{-NH-CO-R}_N$  (X) according to claim 31 where  $\text{R}_N$  is  $\text{C}_1$  alkyl.

34. A process for the production of an (S)-oxazolidinone- $\text{CH}_2\text{-NH-CO-R}_N$  (X) according to claim 31 where  $\text{X}_1$  is -H.

15 35. A process for the production of an (S)-oxazolidinone- $\text{CH}_2\text{-NH-CO-R}_N$  (X) according to claim 31 where  $\text{X}_2$  is -Cl.

20 36. A process for the production of an (S)-oxazolidinone- $\text{CH}_2\text{-NH-CO-R}_N$  (X) according to claim 31 where the oxygenated amino reagent is a (S)-secondary alcohol (VIIIA) or (S)-epoxide (VIIIB).

25 37. A process for the production of an (S)-oxazolidinone- $\text{CH}_2\text{-NH-CO-R}_N$  (X) according to claim 31 where the (S)-oxazolidinone- $\text{CH}_2\text{-NH-CO-R}_N$  (X) is (S)-N-[(3-(3-fluoro-4-morpholinyl)phenyl)-2-oxo-5-oxazolidinyl]methylacetamide.

38. A process for the production of an (S)-oxazolidinone- $\text{CH}_2\text{-NH-CO-R}_N$  of formula (X)

30  $\text{R}_{\text{oxa}}\text{-RING-CH}_2\text{-NH-CO-R}_N$  (X)

where:

(I)  $\text{R}_N$  is  $\text{C}_1\text{-C}_5$  alkyl;

(II)  $\text{R}_{\text{oxa}}$  is phenyl substituted with one -F and one substituted amino group

35 which comprises:

(1) contacting a carbamate of formula (IX)



(IX)

where:

(I)  $X_1$  is:

5 (A)  $C_1\text{-}C_{20}$  alkyl,  
 (B)  $C_3\text{-}C_7$  cycloalkyl,  
 (C)  $\phi$ -optionally substituted with one or two:  
     (1)  $C_1\text{-}C_3$  alkyl,  
     (2)  $F\text{-}, Cl\text{-}, Br\text{-}, I\text{-},$

10 (D)  $CH_2=CH\text{-CH}_2\text{-},$   
 (E)  $CH_3\text{-CH=CH-CH}_2\text{-},$   
 (F)  $(CH_3)_2C=CH\text{-CH}_2\text{-},$   
 (G)  $CH_2=CH\text{-},$   
 (H)  $\phi\text{-CH=CH-CH}_2\text{-},$

15 (I)  $\phi\text{-CH}_2\text{-}$  optionally substituted on  $\phi$ - with one or two  $-Cl$ ,  $C_1\text{-}C_4$  alkyl,  $-\text{NO}_2$ ,  $-\text{CN}$ ,  $-\text{CF}_3$ ,  
 (J) 9-fluorenylmethyl,  
 (K)  $(Cl)_3C\text{-CH}_2\text{-},$   
 (L) 2-trimethylsilylethyl,

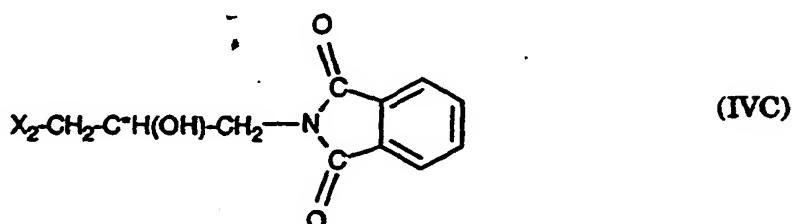
20 (M)  $\phi\text{-CH}_2\text{-CH}_2\text{-},$   
 (N) 1-adamantyl,  
 (O)  $(\phi)_2CH\text{-},$   
 (P)  $CH=C\text{-C(CH}_3)_2\text{-}$   
 (Q) 2-furanylmethyl,

25 (R) isobornyl,  
 (S)  $\text{-H};$

(II)  $R_{oxa}$  is as defined above; with a phthalimide reagent selected from the group consisting of:

(1) a phthalimide alcohol of the formula (IVC)

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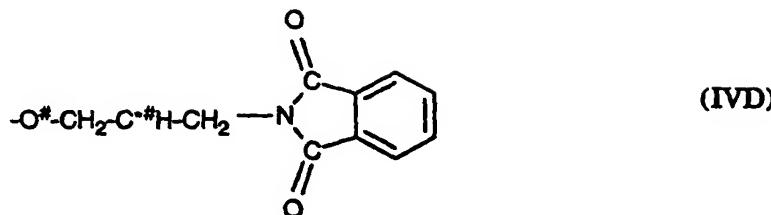
where:

(I)  $X_2$  is:

5 (A) -Cl,  
 (B) -Br,  
 (C)  $p$ -CH<sub>3</sub>- $\phi$ -SO<sub>2</sub>-,  
 (D)  $m$ -NO<sub>2</sub>- $\phi$ -SO<sub>2</sub>-;

(2) a phthalimide epoxide of the formula (IVD)

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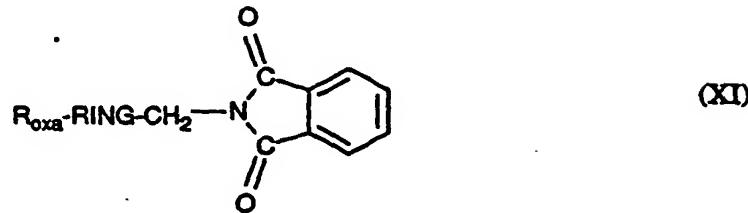


where # indicates that the atoms marked with a (#) are bonded to each other

resulting in the formation of a ring to give the ring-phthalimide compound of

15 formula (XI)

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where  $R_{oxa}$  is as defined above, in the presence of a lithium cation and a base whose conjugate acid has a  $pK_a$  of greater than about 8,

25 (2) contacting the product of step (1) with aqueous acid,

(3) contacting the reaction mixture of step (2) with an acid anhydride of the formula  $O(CO-R_N)_2$  where  $R_N$  is as defined above or an acid halide of the formula  $R_N-CO-X_4$  where  $X_4$  is -Cl or -Br and where  $R_N$  is as defined above and a tri(alkyl)amine where alkyl is  $C_1-C_5$ .

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39. A process for the production of an (S)-oxazolidinone-CH<sub>2</sub>-NH-CO-R<sub>N</sub> (X) according to claim 38 where  $R_{oxa}$  is:

3-fluoro-4-[4-(benzyloxycarbonyl)-1-piperazinyl]phenyl,

3-fluoro-4-(4-morpholinyl)phenyl and

35 3-fluoro-4-(4-hydroxyacetyl)piperazinylphenyl.

40. A process for the production of an (S)-oxazolidinone-CH<sub>2</sub>-NH-CO-R<sub>N</sub> (X) according to claim 38 where R<sub>N</sub> is C<sub>1</sub> alkyl.

41. A process for the production of an (S)-oxazolidinone-CH<sub>2</sub>-NH-CO-R<sub>N</sub> (X) 5 according to claim 38 where X<sub>1</sub> is -H.

42. A process for the production of an (S)-oxazolidinone-CH<sub>2</sub>-NH-CO-R<sub>N</sub> (X) according to claim 38 where X<sub>2</sub> is -Cl.

10 43. A process for the production of an (S)-R<sub>oxa</sub>-RING-CH<sub>2</sub>-NH-CO-R<sub>N</sub> of the formula (X)



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where:

(I) R<sub>N</sub> is C<sub>1</sub>-C<sub>5</sub> alkyl;

(II) R<sub>oxa</sub> is phenyl substituted with one -F and one substituted amino group which comprises:

20 (1) contacting a carbamate of the formula (IX)



where:

25 (I) X<sub>1</sub> is

- (A) C<sub>1</sub>-C<sub>20</sub> alkyl,
- (B) C<sub>3</sub>-C<sub>7</sub> cycloalkyl,
- (C)  $\phi$ - optionally substituted with one or two:

  - (1) C<sub>1</sub>-C<sub>3</sub> alkyl,
  - (2) F-, Cl-, Br-, I-,

30 (D) CH<sub>2</sub>=CH-CH<sub>2</sub>-,

(E) CH<sub>3</sub>-CH=CH-CH<sub>2</sub>-,

(F) (CH<sub>3</sub>)<sub>2</sub>C=CH-CH<sub>2</sub>-,

(G) CH<sub>2</sub>=CH-,

35 (H)  $\phi$ -CH=CH-CH<sub>2</sub>-,

(I)  $\phi$ -CH<sub>2</sub>- optionally substituted on  $\phi$  with one or two -Cl, C<sub>1</sub>-C<sub>4</sub>

alkyl,  $\text{-NO}_2$ ,  $\text{-CN}$ ,  $\text{-CF}_3$ ,

- (J) 9-fluorenylmethyl,
- (K)  $(\text{Cl})_3\text{C-CH}_2\text{-}$ ,
- (L) 2-trimethylsilylethyl,
- 5 (M)  $\phi\text{-CH}_2\text{-CH}_2\text{-}$ ,
- (N) 1-adamantyl,
- (O)  $(\phi)_2\text{CH-}$ ,
- (P)  $\text{CH}\equiv\text{C-C(CH}_3)_2\text{-}$
- (Q) 2-furanylmethyl,
- 10 (R) isobornyl,
- (S)  $\text{-H}$ ;

(II)  $\text{R}_{\text{oxa}}$  is as defined above; with a compound selected from the group consisting of a (S)-protected alcohol of the formula (IVA)



where:

(I)  $\text{X}_0$  is:

- (A)  $\phi$ ,
- 20 (B)  $\text{o-hydroxyphenyl}$ ,
- (C)  $\text{o-methoxyphenyl}$ ,
- (D)  $\text{p-methoxyphenyl}$ ;

(II)  $\text{X}_2$  is:

- (A)  $\text{-Cl}$ ,
- 25 (B)  $\text{-Br}$ ,
- (C)  $\text{p-CH}_3\phi\text{-SO}_2\text{-}$ ,
- (D)  $\text{m-NO}_2\phi\text{-SO}_2\text{-}$ ;

and a (S)-3-carbon protected epoxide of the formula (IVB)



where:

(I) # indicates that the atoms marked with a (#) are bonded to each other resulting in the formation of a ring,

35 (II)  $\text{X}_0$  is as defined above in the presence of a lithium cation and a base whose conjugate acid has a  $\text{pK}_a$  of greater than about 8 to produce a (S)-protected

oxazolidinone of the formula (XII)



where  $X_0$  and  $R_{oxa}$  are as defined above;

5 (2) contacting the reaction mixture of step (1) with aqueous acid to produce an (S)-oxazolidinone free amine of the formula (XIII) and



10 (3) contacting the product of step (2) with an acylating agent selected from the group consisting of an acid anhydride of the formula  $O(CO-R_N)_2$  where  $R_N$  is as defined above or an acid halide of the formula  $R_N\text{-CO-X}_4$  where  $X_4$  is -Cl or -Br and where  $R_N$  is as defined above and a tri(alkyl)amine where alkyl is  $C_1\text{-}C_5$  where  $R_{oxa}$  is as defined above.

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44. A process for the production of an (S)- $R_{oxa}\text{-RING-CH}_2\text{-NH-CO-R}_N$  (X) according to claim 43 where  $R_{oxa}$  is:

3-fluoro-4-[4-(benzyloxycarbonyl)-1-piperazinyl]phenyl,

3-fluoro-4-(4-morpholinyl)phenyl and

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3-fluoro-4-(4-hydroxyacetyl)piperazinylphenyl.

45. A process for the production of an (S)- $R_{oxa}\text{-RING-CH}_2\text{-NH-CO-R}_N$  (X) according to claim 43 where  $R_N$  is  $C_1$  alkyl.

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46. A process for the production of an (S)- $R_{oxa}\text{-RING-CH}_2\text{-NH-CO-R}_N$  (X) according to claim 43 where  $X_0$  is - $\phi$  or o-hydroxyphenyl.

47. A process for the production of an (S)- $R_{oxa}\text{-RING-CH}_2\text{-NH-CO-R}_N$  (X) according to claim 43 where  $X_1$  is -H.

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48. A process for the production of an (S)- $R_{oxa}\text{-RING-CH}_2\text{-NH-CO-R}_N$  (X) according to claim 43 where  $X_2$  is -Cl.

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49. A process for the production of an (S)- $R_{oxa}\text{-RING-CH}_2\text{-NH-CO-R}_N$  of the formula (X)



(X)

where:

(I)  $R_N$  is  $C_1\text{-}C_5$  alkyl;5 (II)  $R_{oxa}$  is phenyl substituted with one -F and one substituted amino group which comprises:

(1) contacting a carbamate of the formula (IX)



(IX)

10

where:

(I)  $X_1$  is:(A)  $C_1\text{-}C_{20}$  alkyl,(B)  $C_3\text{-}C_7$  cycloalkyl,15 (C)  $\phi$ - optionally substituted with one or two:(1)  $C_1\text{-}C_3$  alkyl,

(2) F-, Cl-, Br-, I-,

(D)  $CH_2=CH-CH_2$ ,(E)  $CH_3-CH=CH-CH_2$ ,20 (F)  $(CH_3)_2C=CH-CH_2$ ,(G)  $CH_2=CH$ ,(H)  $\phi-CH=CH-CH_2$ ,(I)  $\phi-CH_2$ - optionally substituted on  $\phi$ - with one or two -Cl,  $C_1\text{-}C_4$ alkyl,  $-NO_2$ ,  $-CN$ ,  $-CF_3$ ,

25 (J) 9-fluorenylmethyl,

(K)  $(Cl)_3C-CH_2$ ,

(L) 2-trimethylsilylethyl,

(M)  $\phi-CH_2-CH_2$ ,

(N) 1-adamantyl,

30 (O)  $(\phi)_2CH$ ,(P)  $CH\equiv C-C(CH_3)_2$ 

(Q) 2-furanylmethyl,

(R) isobornyl,

(S) -H;

35 (II)  $R_{oxa}$  is as defined above; with an (S)-3-carbon amino alcohol (V) where  $X_2$  is as defined above in the presence of a lithium cation and a base whose conjugate

acid has a  $pK_a$  of greater than about 8 to produce an (S)-oxazolidinone free amine of the formula (XIII)

5



(XIII)

10 where  $R_{oxa}$  is as defined above, and

(2) acylating the (S)-oxazolidinone free amine (XIII) with an acylating agent selected from the group consisting of an acid anhydride of the formula  $O(CO-R_N)_2$  where  $R_N$  is as defined above or an acid halide of the formula  $R_N-CO-X_4$  where  $X_4$  is -Cl or -Br and where  $R_N$  is as defined above and a tri(alkyl)amine where alkyl is 15  $C_1-C_5$ .

50. A process for the production of an (S)- $R_{oxa}-\text{RING}-\text{CH}_2-\text{NH}-\text{CO}-R_N$  (X) according to claim 49 where  $R_{oxa}$  is:

20 3-fluoro-4-[4-(benzyloxycarbonyl)-1-piperazinyl]phenyl,  
 3-fluoro-4-(4-morpholinyl)phenyl and  
 3-fluoro-4-(4-hydroxyacetyl)piperazinylphenyl.

51. A process for the production of an (S)- $R_{oxa}-\text{RING}-\text{CH}_2-\text{NH}-\text{CO}-R_N$  (X) according to claim 49 where  $R_N$  is  $C_1$  alkyl.

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52. A process for the production of an (S)- $R_{oxa}-\text{RING}-\text{CH}_2-\text{NH}-\text{CO}-R_N$  (X) according to claim 49 where  $X_1$  is -H.

53. A process for the production of an (S)- $R_{oxa}-\text{RING}-\text{CH}_2-\text{NH}-\text{CO}-R_N$  (X) according to claim 49 where  $X_2$  is -Cl.